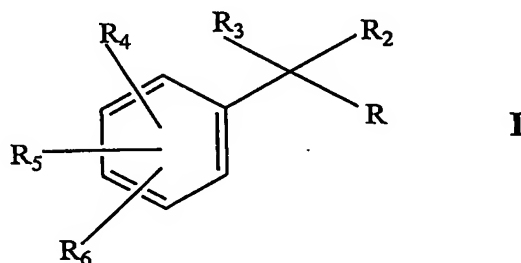


## Claims

1. A sodium channel blocker represented by the general structure:



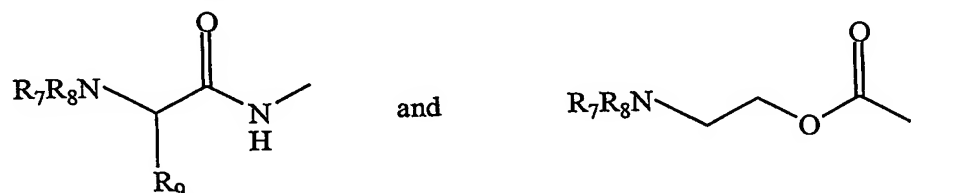
wherein R is selected from the group consisting of C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>2</sub>-C<sub>9</sub> alkenyl, C<sub>2</sub>-C<sub>9</sub> alkynyl, -(CH<sub>2</sub>)<sub>m</sub>COOH, -(CH<sub>2</sub>)<sub>m</sub>NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>m</sub>CONH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>aryl, -(CH<sub>2</sub>)<sub>n</sub>substituted aryl, -(CH<sub>2</sub>)<sub>p</sub>NCH<sub>3</sub>(CH<sub>2</sub>)<sub>p</sub>substituted aryl and -(CH<sub>2</sub>)<sub>n</sub>substituted heterocyclic, wherein m is an integer ranging from 3-8, n is an integer ranging from 0-4 and p is an integer ranging from 1-4;

R<sub>2</sub> is selected from the group consisting of -(CH<sub>2</sub>)<sub>n</sub>COOH, -(CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub>, and -(CH<sub>2</sub>)<sub>n</sub>CONHR<sub>10</sub>;

R<sub>3</sub> is selected from the group consisting of hydroxy, amino, C<sub>1</sub>-C<sub>4</sub> alkoxy, -CH<sub>2</sub>OH and -CONH<sub>2</sub>, or R<sub>2</sub> and R<sub>3</sub> taken together with the atoms to which they are attached form an optionally substituted heterocyclic ring;

R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy; and

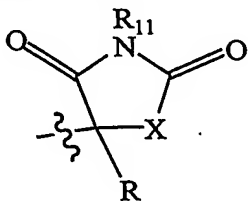
R<sub>6</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>8</sub> alkyl,



wherein R<sub>7</sub> and R<sub>8</sub> are independently selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl and C<sub>2</sub>-C<sub>4</sub> alkynyl, and R<sub>9</sub> is H, or R<sub>8</sub> and R<sub>9</sub> taken together with the atoms to which they are attached form an optionally substituted heterocyclic ring, and R<sub>10</sub> is selected from the group consisting of H, benzyl and C<sub>1</sub>-C<sub>4</sub> alkyl, with the proviso that when R<sub>2</sub> and R<sub>3</sub> taken together form a heterocyclic ring, R is not -(CH<sub>2</sub>)<sub>n</sub>aryl.

2. The compound of claim 1, wherein  $R_2$  is  $-(CH_2)_nCONH_2$ ; and  $R_3$  is hydroxyl.

3. The compound of claim 1, wherein  $R_2$  and  $R_3$  taken together with the atoms to which they are attached form a heterocyclic ring having the structure:

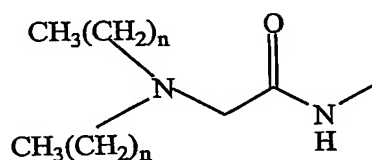


wherein X is selected from the group consisting of  $-CHR_{12}-$ ,  $-O-$  and  $-NR_{12}-$ , wherein  $R_{11}$  and  $R_{12}$  are independently selected from the group consisting of H, benzyl and  $C_1-C_4$  alkyl.

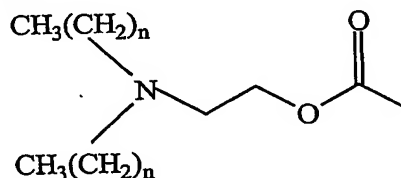
4. The compound of claim 2 or 3 wherein R is selected from the group consisting of  $C_1-C_{12}$  alkyl,  $C_2-C_8$  alkenyl and  $C_2-C_8$  alkynyl.

5. The compound of claim 2 or 3 wherein  $R_4$  and  $R_5$  are independently selected from the group consisting of H, halo and  $C_1-C_4$  alkyl; and

$R_6$  is selected from the group consisting of H,



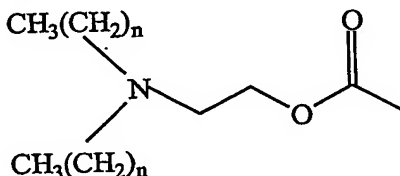
and



wherein n is an integer ranging from 0-2.

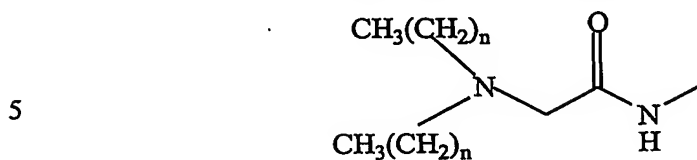
6. The compound of claim 5 wherein  $R_4$  and  $R_6$  are both H, and  $R_5$  is Cl or F.

7. The compound of claim 5 wherein  $R_4$  and  $R_5$  are both H, and  $R_6$  is



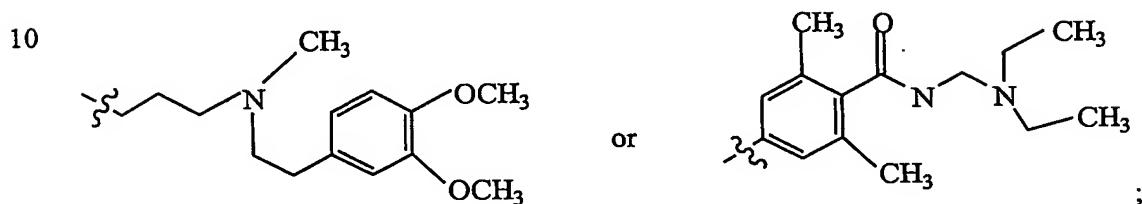
wherein n is an integer ranging from 0-2.

8. The compound of claim 5 wherein  $R_4$  and  $R_5$  are both  $C_1$ - $C_4$  alkyl, and  $R_6$  is



wherein  $n$  is an integer ranging from 0-2.

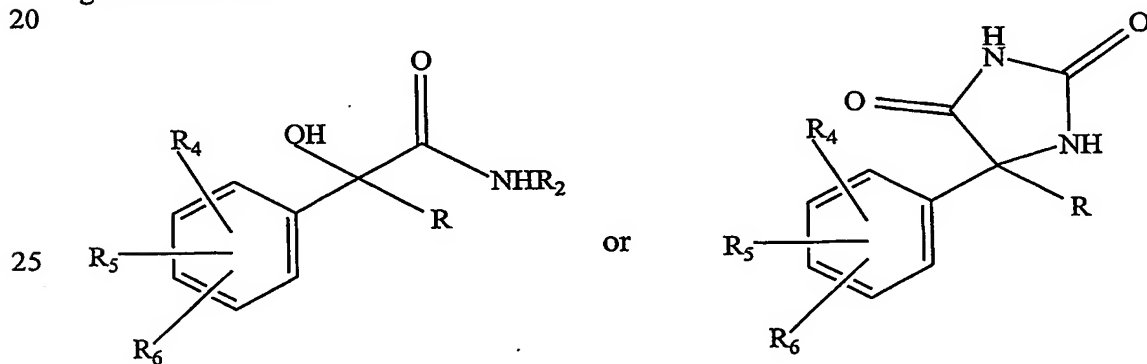
9. The compound of claim 2 or 3 wherein  $R$  is



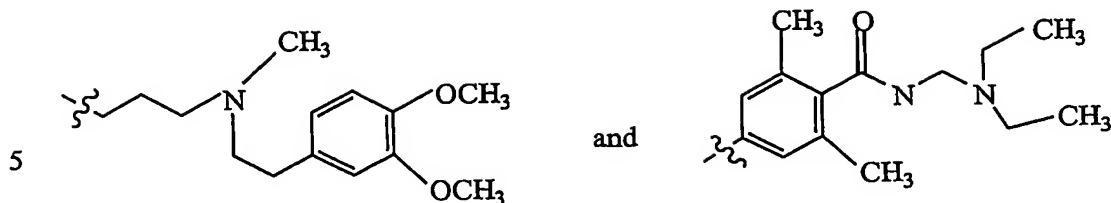
15  $R_4$  and  $R_5$  are independently selected from the group consisting of H, halo and  $C_1$ - $C_4$  alkoxy; and

$R_6$  is H.

10. A pharmaceutical composition comprising a compound represented by the general formula:



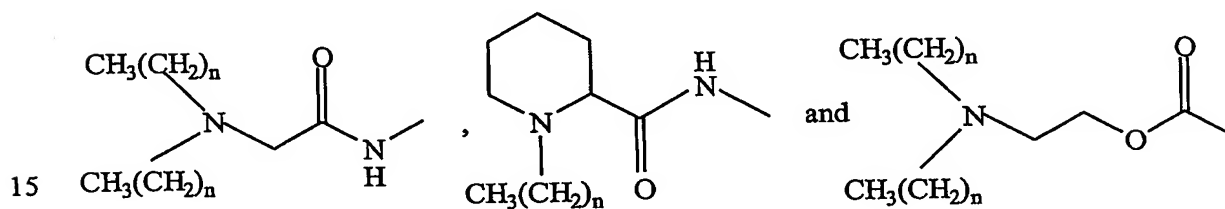
30 wherein  $R$  is selected from the group consisting of  $C_1$ - $C_{12}$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $-(CH_2)_n C_3$ - $C_6$  cycloalkyl,



wherein n is an integer ranging from 0-4;

R<sub>2</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

10 R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, -COR<sub>11</sub> and (C<sub>1</sub>-C<sub>4</sub>) alkoxy; and  
R<sub>6</sub> is selected from the group consisting of H, halo,



wherein R<sub>11</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, NH<sub>2</sub> and OH; and  
a pharmaceutically acceptable carrier.

20 11. The composition of claim 10 further comprising an anti-tumor agent.

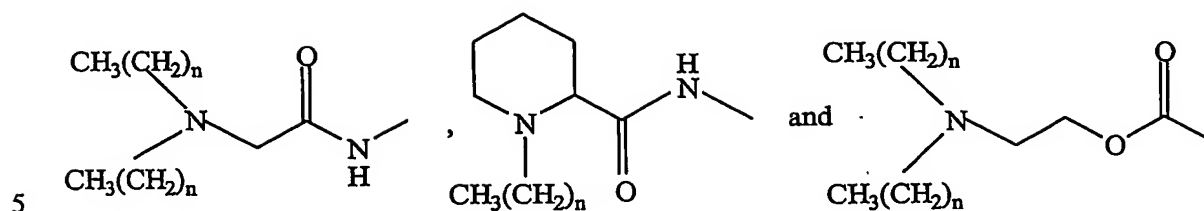
12. The composition of claim 11, wherein the anti-tumor agent is a  
chemotherapeutic.

25 13. The composition of claim 10, wherein R is selected from the group consisting  
of C<sub>1</sub>-C<sub>12</sub> alkyl;

R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H, halo and C<sub>1</sub>-C<sub>4</sub>  
alkyl; and

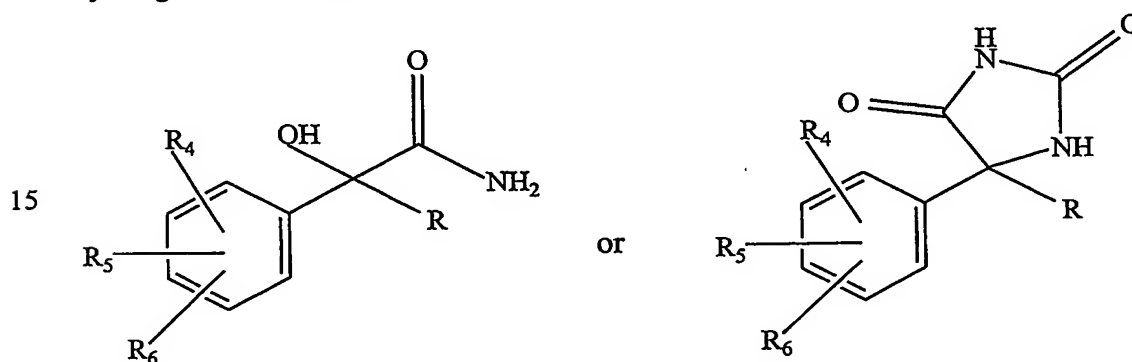
R<sub>6</sub> is selected from the group consisting of H,

30

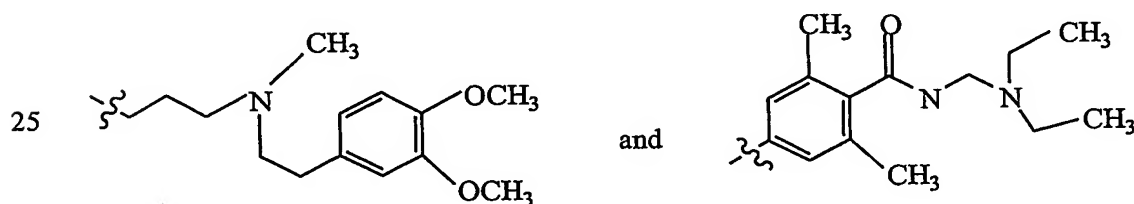


wherein n is an integer ranging from 0-4.

14. A method of specifically inhibiting voltage-gated sodium channels, said  
method comprising the step of contacting said sodium channel with a compound represented  
10 by the general structure:

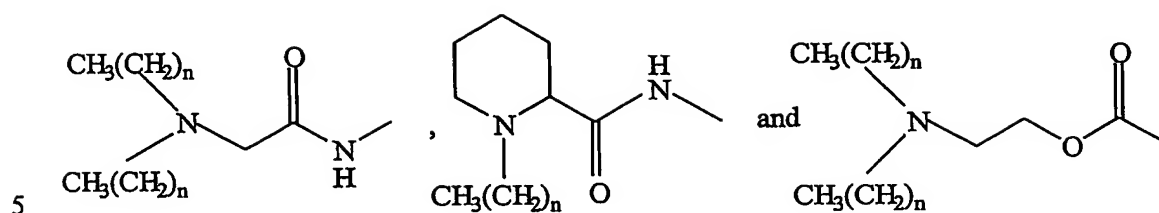


20            wherein R is selected from the group consisting of C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, -(CH<sub>2</sub>)<sub>n</sub>C<sub>3</sub>-C<sub>6</sub> cycloalkyl,



R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, -COR<sub>11</sub> and (C<sub>1</sub>-C<sub>4</sub>) alkoxy; and

**R<sub>6</sub> is selected from the group consisting of H, halo,**

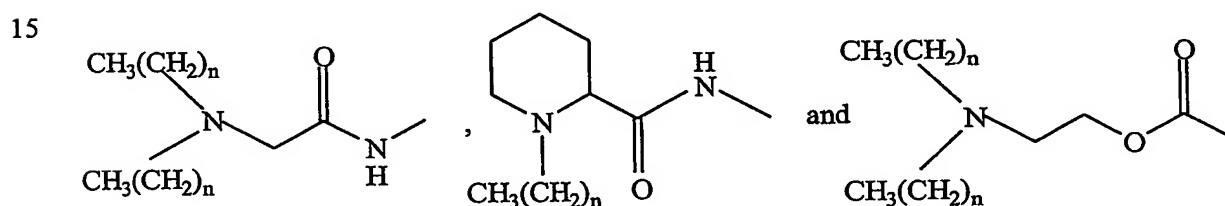


wherein R<sub>11</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, NH<sub>2</sub> and OH, and n is an integer ranging from 0-4.

15. The method of claim 14 wherein R is selected from the group consisting of C<sub>1</sub>-  
10 C<sub>12</sub> alkyl;

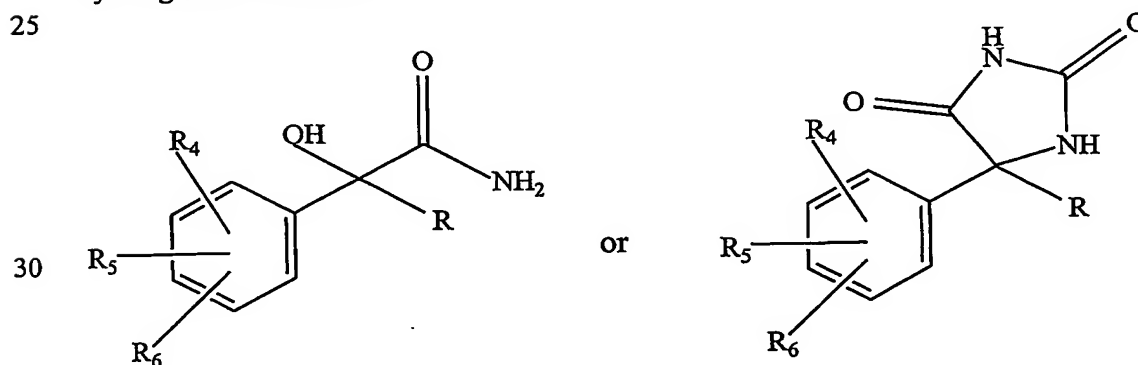
R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H, halo and C<sub>1</sub>-C<sub>4</sub> alkyl; and

**R<sub>6</sub>** is selected from the group consisting of H,

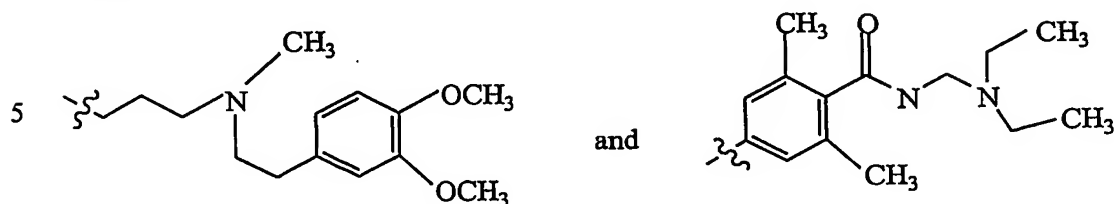


20 wherein n is an integer ranging from 0-4.

16. A method for treating a neoplastic disease, said method comprising the step of administering to a patient in need thereof a composition comprising a compound represented by the general structure:



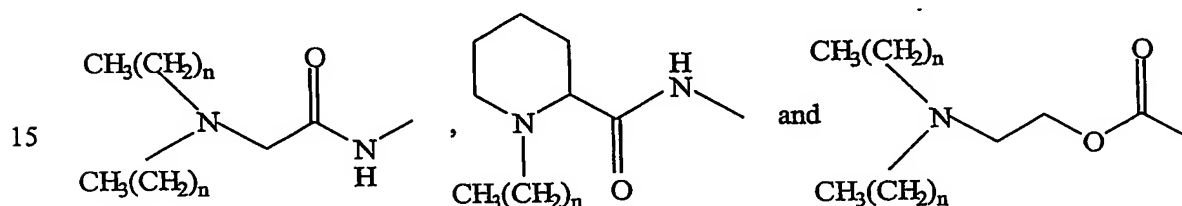
wherein R is selected from the group consisting of C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, -(CH<sub>2</sub>)<sub>n</sub>C<sub>3</sub>-C<sub>6</sub> cycloalkyl,



wherein n is an integer ranging from 0-4;

10 R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, -COR<sub>11</sub> and (C<sub>1</sub>-C<sub>4</sub>) alkoxy; and

R<sub>6</sub> is selected from the group consisting of H, halo,

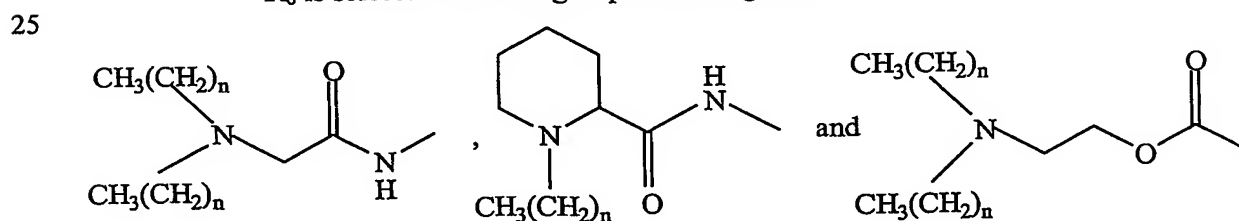


wherein R<sub>11</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, NH<sub>2</sub> and OH.

20 17. The method of claim 16 wherein R is selected from the group consisting of C<sub>1</sub>-C<sub>12</sub> alkyl;

R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H, halo and C<sub>1</sub>-C<sub>4</sub> alkyl; and

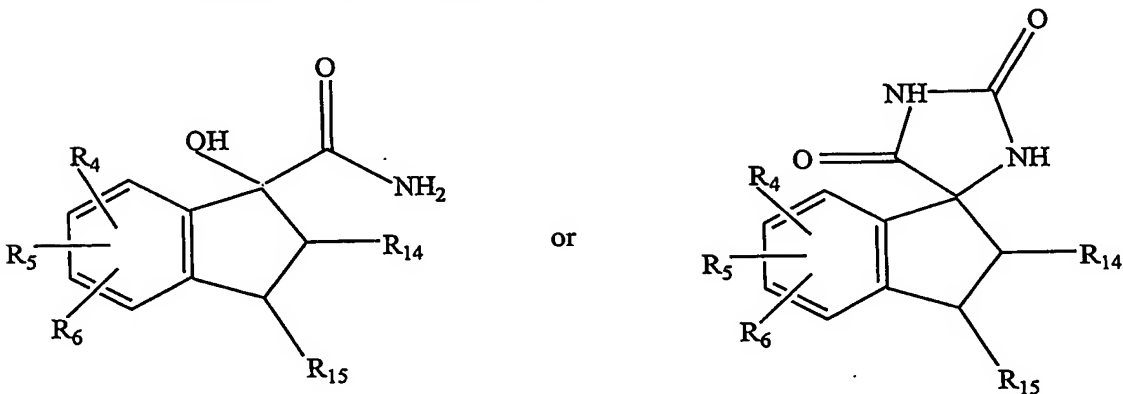
R<sub>6</sub> is selected from the group consisting of H,



30 wherein n is an integer ranging from 0-4.

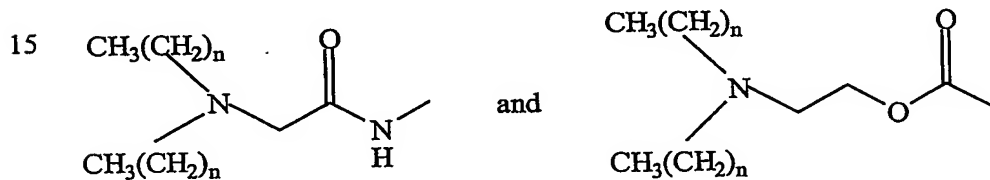
18. The method of claim 17 wherein R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of H and halo; and R<sub>6</sub> is H.

19. A sodium channel blocker represented by the general structure



wherein  $R_4$  and  $R_5$  are independently selected from the group consisting of H, halo and  $C_1$ - $C_4$  alkyl;

$R_6$  is selected from the group consisting of H,



wherein  $n$  is an integer ranging from 0-4 and

20  $R_{14}$  and  $R_{15}$  are independently selected from the group consisting of H and halo, or  
 25  $R_{14}$  and  $R_{15}$  taken together with the atoms to which they are attached form an optionally substituted  $C_5$ - $C_6$  aryl.

20. The compound of claim 19 wherein  $R_4$ ,  $R_5$  and  $R_6$  are independently H or halo;  
 25 and  
 $R_{14}$  and  $R_{15}$  are each H or taken together with the atoms to which they are attached form a phenyl ring.

30